

# PATENT COOPERATION TREATY

LS

From the  
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

Rec'd PCT

21 APR 2005

PCT

To:

BAYER CROPSCIENCE S.A.  
Département Brevets & Licences  
14-20 rue Pierre Baizet  
BP 9163  
F-69263 Lyon Cedex 09  
FRANCE

RECEIVED :

03 FEV. 2005

Patent & Licensing Dept.  
BAYER CROPSCIENCE S.A.

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing  
(day/month/year)

01.02.2005

Applicant's or agent's file reference  
BCS 02-4001

## IMPORTANT NOTIFICATION

International application No.  
PCT/EP 03/13335

International filing date (day/month/year)  
24.10.2003

Priority date (day/month/year)  
24.10.2002

Applicant

BAYER CROPSCIENCE S.A. et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the international preliminary examining authority:



European Patent Office  
D-80298 Munich  
Tel. +49 89 2399 - 0 Tx: 523656 epmu d  
Fax: +49 89 2399 - 4465

Authorized Officer

Nielsen-Hannerup, A

Tel. +49 89 2399-7739



# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>BCS 02-4001</b>	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. <b>PCT/EP 03/13335</b>	International filing date ( <i>day/month/year</i> ) <b>24.10.2003</b>	Priority date ( <i>day/month/year</i> ) <b>24.10.2002</b>
International Patent Classification (IPC) or both national classification and IPC <b>A61K31/155</b>		
Applicant <b>BAYER CROPSCIENCE S.A. et al.</b>		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 7 sheets, including this cover sheet.

☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of    sheets.

3. This report contains indications relating to the following items:

- I    ☒ Basis of the opinion
- II   ☐ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV   ☐ Lack of unity of invention
- V    ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI   ☐ Certain documents cited
- VII ☐ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand  <b>18.05.2004</b>	Date of completion of this report  <b>01.02.2005</b>
Name and mailing address of the international preliminary examining authority:  <div style="display: flex; align-items: center;"> <div>             European Patent Office              D-80298 Munich              Tel. +49 89 2399 - 0 Tx: 523656 epmu d              Fax: +49 89 2399 - 4465           </div> </div>	Authorized Officer  <b>Loher, F</b>  Telephone No. +49 89 2399-7839



**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

10/532033  
JC20 Rec'd PCT/PTO 21 APR 2005  
International application No. PCT/EP 03/13335

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-14 as originally filed

**Claims, Numbers**

1-15 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item:

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/EP 03/13335

**III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
  - ☒ claims Nos. 14, 15 (IA)  
because:
    - ☒ the said international application, or the said claims Nos. 14, 15 (IA) relate to the following subject matter which does not require an international preliminary examination (specify):  
**see separate sheet**
  - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
  - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
  - ☐ no international search report has been established for the said claims Nos.
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- ☐ the written form has not been furnished or does not comply with the Standard.
  - ☐ the computer readable form has not been furnished or does not comply with the Standard.

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	5-11, 13-15
	No: Claims	1-4, 12
Inventive step (IS)	Yes: Claims	
	No: Claims	1-15
Industrial applicability (IA)	Yes: Claims	1-13
	No: Claims	

2. Citations and explanations

**see separate sheet**

**Re Item III**

**Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

Claims 14 and 15 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

Reference is made to the following documents:

- 7c102  
00052
- D1: WO 00/46184 A (HOECHST SCHERING AGREVO GMBH ;ATHERALL JOHN FREDERICK (GB); HOUGH) 10 August 2000 (2000-08-10)  
D2: EP-A-1.178 038 (AVENTIS CROPS SCIENCE SA) 6 February 2002 (2002-02-06)

If not mentioned otherwise, the relevant passages are those mentioned in the International Search Report.

**Art 33(2)** The present application does not meet the requirements of Article 33(2) PCT, since the subject-matter of claims 1-4 and 12 is not new.

D1 discloses the compounds of the present application as fungizides (see especially compounds 364 and 393 of D1). Therefore, the subject-matter of claims 1-4 is not new in the light of D1.

D2 discloses antifungal compounds covering the compounds of the present application (in particular when R1 and R3 of formula (I) of D2 are hydrogen, cave: tautomerie). Therefore, the subject-matter of claims 1 and 12 is not new in the light of D2.

**Art 33(3)** The present application does not meet the requirements of Article 33(3) PCT, since the subject-matter of claims 1-15 does not seem to involve an inventive step.

D1, which is considered to represent the most relevant state of the art, discloses the use of the compounds of the present application as fungizides.

The problem to be solved by the present invention may therefore be regarded as how to provide another use of the compounds covered by formula (I) of the present application.

The present application suggests to solve the problem posed by using the compounds in the treatment of fungal infections, in particular in the treatment of *Candida albica* and *Aspergillus fumigatus* infections. The compounds may be used alone or in combination with other antifungals.

Taking into account the teaching of the cited prior art the following reasoning applies:

With respect to the subject-matter of claims 1-4 and 12 the applicant's attention is drawn to the fact that even if novelty could be established over the above-cited prior art it is at present not clear wherein an inventive step may reside.

With respect to the subject-matter of claims 5-11 and 13-15 the applicant's attention is drawn to the fact that there seems to be no basis for inventive step within the present application as filed since no evidence can be found that the features which are novel result in a solution of the posed problem which could not have been foreseen by the skilled person.

Being aware of the teaching of D1 which discloses that the compounds in question are effective against all kinds of fungal species the skilled person performed an arbitrary choice out of one list containing all fungal species to select *Candida* or *Aspergillus* species. Since there is no surprising effect resulting from that choice the solution proposed in claims 14 and 15 of the present application is not considered to be inventive in the sense of Article 33(3) PCT.

To combine medicaments which are directed to the same use (as suggested by claims 5-11 and 13 is a straight-forward action for the skilled person.

Since the combination of the compounds covered by present formula (I) and other antifungal compounds as demonstrated by the present application may result in synergistic or antagonistic effects depending on which medium and which fungal strain has been used, the solution proposed in claims 5-11 and 13 claims of the present application is not considered to be inventive in the sense of Article 33(3) PCT.

It is therefore noted, that the solution proposed in claims 1-15 of the present application is not considered to be inventive in the sense of Article 33(3) PCT.

**Art 33(4)** For the assessment of the present claims 14 and 15 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

The subject-matter of claims 1-13 is considered to be industrially applicable in

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

---

International application No. PCT/EP 03/13335

the sense of Art 33(4) PCT.